

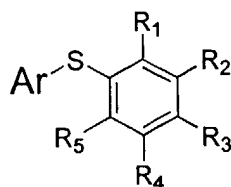
191

417

CLAIMS

What is claimed is:

- 5 1. A compound of formula I



I

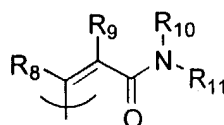
or a pharmaceutically-acceptable salt or prodrug thereof,

10

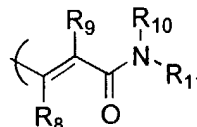
wherein R₁, R₂, R₃, R₄, and R₅ are independently selected from

- 15
- a. hydrogen,
 - b. halogen,
 - c. alkyl,
 - d. haloalkyl,
 - e. alkoxy,
 - f. cyano,
 - g. nitro,
 - 20 h. carboxaldehyde, and

with the proviso that at least one of R_1 or R_3 is a "*cis*-cinnamide" or a "*trans*-cinnamide", defined as



"*cis*-cinnamide"



"*trans*-cinnamide",

wherein R_8 and R_9 are independently selected from

- a. hydrogen, and
- b. alkyl,
- c. carboxy alkyl,
- d. alkylaminocarbonyl alkyl, and
- e. dialkylaminocarbonyl alkyl,

and R_{10} and R_{11} are independently selected from

- a. hydrogen,
- b. alkyl,
- c. cycloalkyl,
- d. alkoxycarbonylalkyl,
- e. hydroxyalkyl,

- 5
- f. substituted aryl,
 - g. heterocyclyl,
 - h. heterocyclylalkyl,
 - i. heterocyclylamino,
 - j. substituted heterocyclyl, and
 - k. substituted heterocyclylalkyl,

or where $\text{NR}_{10}\text{R}_{11}$ is heterocyclyl or substituted heterocyclyl, where
substituents are independently selected from

10

- 1) alkyl,
- 2) alkoxy,
- 3) alkoxyalkyl,
- 4) cycloalkyl,
- 5) aryl,
- 6) heterocyclyl,
- 7) heterocyclylcarbonyl,
- 8) heterocyclylalkylaminocarbonyl,
- 9) hydroxy,
- 10) hydroxyalkyl,
- 11) hydroxyalkoxyalkyl,
- 12) carboxy,

15

20

001100" 564TH560

- 13) carboxyalkyl,
14) carboxycarbonyl,
15) carboxaldehyde,
16) alkoxycarbonyl,
5 17) arylalkoxycarbonyl,
18) aminoalkyl,
19) aminoalkanoyl,
20) carboxamido,
21) alkoxycarbonylalkyl,
10 22) carboxamidoalkyl,
23) cyano,
24) tetrazolyl,
25) substituted tetrazolyl,
26) alkanoyl,
15 27) hydroxyalkanoyl,
28) alkanoyloxy,
29) alkanoylamino,
30) alkanoyloxyalkyl,
31) alkanoylaminoalkyl,
20 32) sulfonate,
33) alkylsulfonyl,
34) alkylsulfonylaminoalkyl,

007220" 56274560

35) arylsulfonylaminocarbonyl, and

36) heterocyclysulfonylaminocarbonyl,

and wherein **Ar** is a substituted aryl or substituted heteroaryl group, where

5 substitutions are independently selected from

a. hydrogen,

b. halogen,

c. alkyl,

10

d. aryl,

e. haloalkyl,

f. hydroxy,

g. alkoxy,

h. alkoxyalkyl,

15

i. alkoxycarbonyl,

j. alkoxyalkoxy,

k. hydroxyalkyl,

l. aminoalkyl,

m. aminocarbonyl,

20

n. alkyl(alkoxycarbonylalkyl)aminoalkyl,

o. heterocyclyl,

p. substituted heterocyclyl,

00760" 55274560

- q. heterocyclylalkyl,
- r. substituted heterocyclylalkyl,
- s. carboxaldehyde,
- t. carboxaldehyde hydrazone,
- 5 u. carboxamide,
- v. alkoxycarbonylalkyl,
- w. carboxy,
- x. carboxyalkyl,
- y. carboxyalkoxy,
- 10 z. carboxythioalkoxy,
- aa. carboxycycloalkoxy,
- bb. thioalkyl,
- cc. hydroxycarbonylalkyl (carboxyalkyl),
- dd. hydroxyalkylaminocarbonyl,
- 15 ee. cyano,
- ff. amino,
- gg. heterocyclylalkylamino,
- hh. carboxyalkylamino,
- ii. heterocyclylalkylaminocarbonyl, and
- 20 jj. "*trans*-cinnamide",

or a pharmaceutically-acceptable salt or prodrug thereof.

2. A compound according to Claim 1 wherein R_1 is a "*cis*-cinnamide" or a "*trans*-cinnamide", and R_3 is hydrogen.
- 5 3. A compound according to Claim 1 wherein R_3 is a "*cis*-cinnamide" or a "*trans*-cinnamide", and R_1 is hydrogen.
4. A compound according to Claim 1 wherein R_3 is a "*cis*-cinnamide" or a "*trans*-cinnamide", and R_1 , R_8 , and R_9 are hydrogen.
- 10 5. A compound according to Claim 4 wherein R_3 is a "*cis*-cinnamide".
6. A compound according to Claim 4 wherein R_3 is a "*trans*-cinnamide".
- 15 7. A compound according to Claim 1 wherein R_3 is a "*cis*-cinnamide" or a "*trans*-cinnamide", R_1 , R_2 , and R_4 are each independently hydrogen or alkyl; and R_5 is selected from halogen, haloalkyl, and nitro.
- 20 8. A compound according to Claim 4 wherein **Ar** is substituted aryl or substituted heteroaryl.

9. A compound according to Claim 4 wherein R_{10} and R_{11} are each independently selected from hydrogen, alkyl, cycloalkyl, alkoxycarbonylalkyl, hydroxyalkyl, and heterocyclalkyl.
10. A compound according to Claim 4 wherein $NR_{10}R_{11}$ is heterocycl or substituted heterocycl.
11. A compound according to Claim 8 wherein **Ar** is selected from substituted phenyl, 1,3-benzimidazol-2-one, 1,4-benzodioxane, 1,3-benzodioxole, 1-benzopyr-2-en-4-one, indole, isatin, 1,3-quinazolin-4-one, and quinoline.
12. A compound according to Claim 1 selected from the group consisting of:
- (2,4-Dichlorophenyl)[2-(*E*-((6-hydroxyhexylamino)carbonyl)ethenyl)phenyl] sulfide;
- (2,4-Dichlorophenyl)[2-(*E*-((3-(1-imidazolyl)propylamino)carbonyl)ethenyl)phenyl] sulfide;
- (2,4-Dichlorophenyl)[2-chloro-4-(*E*-((2 hydroxyethylamino)carbonyl)ethenyl)phenyl] sulfide;
- (2,4-Dichlorophenyl)[2-chloro-4-(*E*-((6 ydroxyhexylamino)carbonyl)ethenyl)phenyl] sulfide;
- (2,4-Dichlorophenyl)[2-chloro-4-(*E*-((bis-(2-hydroxyethyl)amino)carbonyl)ethenyl) phenyl] sulfide;

(2,4-Dichlorophenyl)[2-chloro-4-(*E*-((3-(1-pyrrolidin-2-onyl)propylamino)carbonyl)ethenyl)phenyl] sulfide;

(2,4-Dichlorophenyl)[2-chloro-4-(*E*-((1-morpholinyl)carbonyl)ethenyl)phenyl] sulfide;

5 (2,4-Dichlorophenyl)[2-chloro-4-(*E*-((4-methylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2,4-Dichlorophenyl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2,4-Dichlorophenyl)[2-chloro-4-(*E*-((4-(2-pyridyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

10 (2-(Hydroxymethyl)phenyl)[2-chloro-4-(*E*-((1-morpholinyl)carbonyl)ethenyl)phenyl] sulfide;

(2-Bromophenyl)[2-chloro-4-(*E*-((1-morpholinyl)carbonyl)ethenyl)phenyl] sulfide;

(2,4-Dichlorophenyl)[2-chloro-4-(*E*-((4-(2-hydroxyethyl)piperazin-1-yl)carbonyl)

15 ethenyl)phenyl] sulfide;

(2,4-Dichlorophenyl)[2-chloro-4-(*E*-((4-(2-hydroxyethoxyethyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-Bromophenyl)[2-chloro-4-(*E*-((3-(hydroxymethyl)piperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

20 (2-Bromophenyl)[2-chloro-4-(*E*-((2-(hydroxymethyl)piperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

09541795-033100

- (2-Bromophenyl)[2-chloro-4-(*E*-((3-acetamidopyrrolidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- (2-Bromophenyl)[2-chloro-4-(*E*-((4-hydroxypiperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- 5 (2-Bromophenyl)[2-chloro-4-(*E*-((piperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- (2,4-Dichlorophenyl)[2-chloro-4-(*E*-((3-carboxypiperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- (2,4-Dichlorophenyl)[2-chloro-4-(*E*-((4-carboxypiperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- 10 (2-Bromophenyl)[2-chloro-4-(*E*-((4-acetylhomopiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- (2-Bromophenyl)[2-chloro-4-(*E*-((thiomorpholin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- (2-Bromophenyl)[2-chloro-4-(*E*-((4-(1-benzimidazol-2-onyl)piperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- 15 (2-Bromophenyl)[2-chloro-4-(*E*-((2-etrahydroisoquinoliny)carbonyl)ethenyl)phenyl] sulfide;
- (2-Methylphenyl)[2-trifluoromethyl-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- 20 (2-Methylphenyl)[2-trifluoromethyl-4-(*E*-((1-morpholiny)carbonyl)ethenyl)phenyl] sulfide;
- (2-Methylphenyl)[2-trifluoromethyl-4-(*E*-((2-(1-morpholiny)ethylamino)carbonyl)

ethenyl)phenyl] sulfide;

(2-Methylphenyl)[2-trifluoromethyl-4-(*E*-((4-phenylpiperazin-1-yl)carbonyl)

ethenyl)phenyl] sulfide;

(2-Methylphenyl)[2-trifluoromethyl-4-(*E*-((3-(1-pyrrolidin-2-onyl)propylamino)

5 carbonyl) ethenyl)phenyl] sulfide;

(2-Methylphenyl)[2-trifluoromethyl-4-(*E*-

((cyclopropylamino)carbonyl)ethenyl)phenyl]

sulfide;

(2,4-Dichlorophenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl]

10 sulfide;

(2,4-Dichlorophenyl)[2-nitro-4-(*E*-((3-(1-pyrrolidin-2-onyl)propylamino)carbonyl)

ethenyl)phenyl] sulfide;

(2,3-Dichlorophenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl]

sulfide;

15 (4-Bromophenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl]

sulfide;

(4-Methylphenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl]

sulfide;

(2,4-Dichlorophenyl)[2-nitro-4-(*E*-((4-(*tert*-butoxycarbonyl)piperazin-1-yl)carbonyl)

20 ethenyl)phenyl] sulfide;

(2,4-Dichlorophenyl)[2-nitro-4-(*E*-((4-(2-furoylcarbonyl)piperazin-1-yl)carbonyl)

ethenyl)phenyl] sulfide;

(2,4-Dichlorophenyl)[2-nitro-4-(*E*-((4-(methanesulfonyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2,4-Dichlorophenyl)[2-nitro-4-(*E*-((4-(diethylaminocarbonylmethyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

5 (2,4-Dichlorophenyl)[2-nitro-4-(*E*-((4-(diethylaminocarbonyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2,4-Dichlorophenyl)[2-nitro-4-(*E*-((4-(*tert*-butoxycarbonylmethyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2,4-Dichlorophenyl)[2-nitro-4-(*E*-((4-(carboxycarbonyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

10

(2,4-Dichlorophenyl)[2-nitro-4-(*E*-((4-(carboxymethyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-Methylphenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

15 (2-Chlorophenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-Aminophenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-Hydroxymethylphenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

20

(2-Ethylphenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

00T.E.E.O." 56274560

(2-*iso*-Propylphenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl]
sulfide;

(2-*tert*-Butylphenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl]
sulfide;

5 (2-Chlorophenyl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl))2-
propenyl)phenyl]

sulfide;

(2-(1-Morpholinylmethyl)phenyl)[2-chloro-4-(*E*-((1-morpholinyl)carbonyl) ethenyl)
phenyl] sulfide;

10 (2-(4-(1,3-Benzodioxolyl-5-methyl)piperazin-1-ylmethyl)phenyl)[2-chloro-4-(*E*-((1-
morpholinyl)carbonyl) ethenyl)phenyl] sulfide;

(2-(4-(*iso*-Propylaminocarbonylmethyl)piperazin-1-ylmethyl)phenyl)[2-chloro-4-(*E*-
((1-morpholinyl)carbonyl) ethenyl)phenyl] sulfide;

(2-((*N*-Ethoxycarbonylmethyl-*N*-methyl)aminomethyl)phenyl)[2-chloro-4-(*E*-((1-
15 morpholinyl)carbonyl) ethenyl)phenyl] sulfide;

(2-Formylphenyl)[2-chloro-4-(*E*-((1-morpholinyl)carbonyl)ethenyl)phenyl] sulfide;

(2-(4-Formylpiperazin-1-ylmethyl)phenyl)[2-chloro-4-(*E*-((1-morpholinyl)carbonyl)
ethenyl)phenyl] sulfide;

(2-(*E*-((1-Morpholinyl)carbonyl)ethenyl)phenyl)[2-chloro-4-(*E*-((1-morpholinyl)
20 carbonyl)ethenyl)phenyl] sulfide;

(2-Formylphenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl]
sulfide;

(2-Methylphenyl)[2-nitro-4-(*E*-((3-carboxamido-4-carbobenzoxypiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((2-carbomethoxy-4-*tert*-butoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

5 (2-Isopropylphenyl)[2-nitro-4-(*E*-((2-carboxy-4-*tert*-butoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-trifluoromethyl-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

10 (2-Isopropylphenyl)[2-trifluoromethyl-4-(*E*-((morpholin -1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-trifluoromethyl-4-(*E*-((3-(pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl) ethenyl)phenyl]sulfide;

(2-Isopropylphenyl)[2-trifluoromethyl-4-(*E*-((cyclobutylamino)carbonyl)ethenyl) phenyl] sulfide;

15 (2-Isopropylphenyl)[2-trifluoromethyl-4-(*E*-((cyclopentylamino)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-trifluoromethyl-4-(*E*-((5-hydroxypent-1-ylamino)carbonyl)ethenyl) phenyl] sulfide;

20 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-carbomethoxy-4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Biphenyl)[2-chloro-4-(*E*-((morpholin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

007660" 56274560

(3,4-Dimethylphenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl]sulfide;

(2-Bromophenyl)[2-trifluoromethyl-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

5 (5-Indolyl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(5-Benzodioxolyl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

10 (2-Isopropylphenyl)[2-nitro-4-(*E*-((2-carbomethoxypiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2,3-Dimethoxyphenyl)-[2-chloro-4(*E*-[(morpholin-1-yl)carbonyl]ethenyl)phenyl] sulfide;

(2-Fluorophenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl]sulfide;

15 (2-Bromophenyl)[2-trifluoromethyl-4-(*E*-((4-(*tert*-butoxycarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-(Pyrrolidin-1-yl)phenyl)[2-trifluoromethyl-4-(*E*-((4-(*tert*-butoxycarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

20 (3-Carboxamidophenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(3-(Hydroxymethyl)phenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

0354195 031100

Phenyl[2-trifluoromethyl-4-(*E*-((4-(*tert*-butoxycarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-trifluoromethyl-4-(*E*-((2-carbomethoxy-4-(*tert*-butoxycarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

- 5 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(pyridine-4-methylaminocarbonyl)-4-*tert*-butoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Ethoxyphenyl)-[2-chloro-4(*E*-[(morpholin-1-yl)carbonyl]ethenyl)phenyl]sulfide;

(2-Methoxyphenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl]sulfide;

- 10 (2-(Azetidin-1-yl)phenyl)[2-trifluoromethyl-4-(*E*-((4-(*tert*-butoxycarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-(Piperidin-1-yl)phenyl)[2-trifluoromethyl-4-(*E*-((4-(*tert*-butoxycarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(3-Chloro-2-formylphenyl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)

- 15 ethenyl) phenyl] sulfide;

(2-Trifluoromethylphenyl)[2-trifluoromethyl-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(3-Bromophenyl)[2-trifluoromethyl-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

- 20 (3,5-Dimethylphenyl)[2-trifluoromethyl-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

09541795-033100
DOTED 56274560

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-dimethylaminocarbonyl-4-carbomethoxypiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(1-morpholinocarbonyl)-4-*tert*-butoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-(((3-dimethylaminocarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

15 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(dimethylaminocarbonyl)-4-*tert*-
butoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Bromophenyl)[2-chloro-4-(E-((3-(pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl)ethenyl)phenyl]sulfide;

(2-Bromophenyl)[2-chloro-4-(E-(N-methyl-N-(3-(pyrrolidin-2-on-1-yl)prop-1-yl)amino)carbonyl)ethenyl]phenyl]sulfide;

1

(2-Bromophenyl)[2-chloro-4-(E-((3-(5*S*-methoxymethyl-pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl)ethenyl)phenyl]sulfide;

(2-Bromophenyl)[2-chloro-4-(E-((3-(4*R*-hydroxymethyl-pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl)ethenyl)phenyl]sulfide;

5 Phenyl[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl]sulfide;

(2-Dimethylaminophenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(3-((2-Hydroxyethyl)aminocarbonyl)phenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

10 (3-((3-(1-Imidazolyl)propyl)aminocarbonyl)phenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(3-((2-(1-Morpholinyl)ethyl)aminocarbonyl)phenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-hydroxymethyl-4-*tert*-butoxycarbonylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((4-formylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((2-hydroxymethyl-4-*tert*-butoxycarbonylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

20 (2-Ethoxyphenyl)-[2-chloro-4(E-[3-ethoxycarbonylpiperidin-1-yl)carbonyl]ethenyl)phenyl]sulfide;

034195-033100
OTEE"564560

(3- Aminophenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl]sulfide;

(4-Aminophenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl]sulfide;

5 (2,4-Dimethylphenyl)[2- nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl]sulfide;

(2,5-Dimethylphenyl)[2- nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl]sulfide;

(4-Methoxyphenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl]sulfide;

10 (3-Chlorophenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl]sulfide;

(2-Chloro, 4,5-diaminophenyl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

15 (3,4-Diaminophenyl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl]

(6-Chlorobenzimidazol-2-on-5-yl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(1-Methylindol-7-yl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

20 (2-Hydroxy, 4-aminophenyl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

0054195-033100
DATE 05/27/50

(2-Isopropylphenyl)[2-nitro-4-(*E*-((4-methylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-(4-(pyridine-2-carbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

5 (2-Isopropylphenyl)[2-nitro-4-(*E*-((4-(pyridine-3-carbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((2-carbomethoxy-4-methoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((2-carboxy-4-methoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-carbomethoxy-4-methylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Ethoxyphenyl)-[2-chloro-4(*E*-[(3-carboxypiperidin-1-yl)carbonyl]ethenyl)phenyl]
sulfide;

15 (2-Ethoxyphenyl)-[2-chloro-4(E-[(3-carboxypiperidin-1-yl)carbonyl]ethenyl)phenyl]
sulfide;

(2-Ethoxyphenyl)-[2-chloro-4(E-[(2-ethoxycarbonylpiperidin-1-yl)carbonyl]ethenyl)phenyl]sulfide;

(2-Ethoxyphenyl)[2-trifluoromethyl-4-(*E*-((1-(*tert*-butoxycarbonyl)-4-
20 hydroxypyrrolidin-3-ylamino)carbonyl)ethenyl) phenyl] sulfide;

(2-Ethoxyphenyl)-[2-chloro-4(*E*-[(2-carboxypiperidin-1-yl)carbonyl]ethenyl)phenyl]
sulfide;

(2-Ethoxyphenyl)[2-trifluoromethyl-4-(*E*-(((pyrrol-3-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-Ethoxyphenyl)[2-trifluoromethyl-4-(*E*-((3-(pyrrolidin-2-yl)prop-1-ylamino)carbonyl)ethenyl)phenyl]sulfide;

5 (2-Ethoxyphenyl)[2-trifluoromethyl-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-Ethoxyphenyl)[2-trifluoromethyl-4-(*E*-((4-(ethoxycarbonyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

10 (2-Ethoxyphenyl)[2-trifluoromethyl-4-(*E*-((4-(2-furylcarbonyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-Ethoxyphenyl)-[2-chloro-4(*E*-[(3-ethoxycarbonylpiperidin-1-yl)carbonyl]ethenyl)phenyl]sulfide;

(2-Ethoxyphenyl)-[2-chloro-4(*E*-[(4-carboxypiperidin-1-yl)carbonyl]ethenyl)phenyl] sulfide;

15 (Benzodioxan-6-yl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((4-ethoxycarbonylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

20 (2-Isopropylphenyl)[2-nitro-4-(*E*-((4-isopropoxycarbonylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((4-isobutoxycarbonylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

007660" 5627550

(2-Isopropylphenyl)[2-nitro-4-(*E*-((4-((1-propen-2-oxy)carbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((4-propionylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

5 (2-Isopropylphenyl)[2-nitro-4-(*E*-((4-carboxamidopiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((4-methylaminocarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

10 (2-Isopropylphenyl)[2-nitro-4-(*E*-((4-(pyrimidin-2-yl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((4-hydroxyacetyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((4-(pyrazine-2-carbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

15 (2-Isopropylphenyl)[2-trifluoromethyl-4-(*E*-(((2-carboxypyrrol-3-in-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-hydroxymethyl-4-methylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

20 (2-Isopropylphenyl)[2-trifluoromethyl-4-(*E*-(((2-carboxypyrrol-3-in-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-trifluoromethyl-4-(*E*-(((2-hydroxymethylpyrrolidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

007.EE0" 55274560

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-methylaminocarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-(((3-cyclopropylaminocarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

5 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-carboxamidopiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-carbomethoxy-4-oxopiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3,5-dimethylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(1-Ethylindol-7-yl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(3-[2-Methoxy]ethoxyphenyl)-[2-chloro-4(*E*-[(morpholin-1-yl)carbonyl]ethenyl)phenyl] sulfide;

15 (2-Bromophenyl)[2-chloro-4-(*E*-((4,4'-*S*-dioxythiomorpholin-1-yl)carbonyl)ethenyl)phenyl]sulfide;

(2-Bromophenyl)[2-chloro-4-(*E*-(*N*-carbomethoxymethyl-*N*-(3-(pyrrolidin-2-on-1-yl)prop-1-yl)amino)carbonyl) ethenyl)phenyl]sulfide;

(2-Bromophenyl)[2-chloro-4-(*E*-((4-*S*-oxythiomorpholin-1-yl)-2-pyrrolidinone)carbonyl) ethenyl)phenyl]sulfide;

(2-Methoxy-5-chlorophenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

0054195 03100

- (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-acetoxymethyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((3,5-dimethyl-4acetyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 5 (1-Methylindol-5-yl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (Benzodioxan-6-yl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (Benzodioxan-6-yl)[2-nitro-4-(*E*-((3-(pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl)ethenyl)phenyl]sulfide;
- 10 (Benzodioxan-6-yl)[2-nitro-4-(*E*-((3-carboethoxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- (Benzodioxan-6-yl)[2-nitro-4-(*E*-((4-carboethoxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- 15 (2-Ethoxyphenyl)[2-trifluoromethyl-4-(*Z*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Ethoxyphenyl)[2-trifluoromethyl-4-(*E*-((6-methylpyrid-2-ylamino)carbonyl)ethenyl) phenyl] sulfide;
- (2-Methyl-3-chlorophenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 20 (Benzodioxan-6-yl)[2-nitro-4-(*E*-((3-carboxamidopiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

00TCE0" 55274560

(Benzodioxan-6-yl)[2-nitro-4-(*E*-((2-carboethoxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-nitro-4-(*E*-((4-carboxamidopiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

5 (Benzodioxan-6-yl)[2-nitro-4-(*E*-((4-*tert*-butoxycarbonylpiperazin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((*syn*-3,5-dimethylmorpholin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((*anti*-3,5-dimethylmorpholin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

10 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-carboethoxypiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-isopropoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

15 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(dimethylaminocarbonyl)-4-methylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-carbomethoxy-4-hydroxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-hydroxymethyl-4-hydroxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

20

(2-Ethoxyphenyl)[2-trifluoromethyl-4-(*E*-((2-carbomethoxy-4-(methoxycarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

007660 562750

(2-Ethoxyphenyl)[2-trifluoromethyl-4-(*E*-(2-carbomethoxy-4-methyl piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Ethoxyphenyl)[2-trifluoromethyl-4-(*E*-((2-carboxy-4-(methoxycarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

5 (Indol-6-yl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
(1-Ethyl,3-(dimethylaminomethyl)indol-7-yl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-
yl)carbonyl)ethenyl) phenyl] sulfide;

(5-Ethoxybenzodioxan-6-yl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

10 (2-Ethyl-4-bromophenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)
phenyl] sulfide;

(Benzodioxan-6-yl)[2-nitro-4-(*E*-((2-carboxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-nitro-4-(*E*-((4-carboxymethylpiperazin-1-yl)

15 carbonyl)ethenyl) phenyl] sulfide;

(3-Morpholinophenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(5-Ethoxybenzodioxan-8-yl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

20 (5-Chloro-8-ethoxyquinolin-7-yl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-carboethoxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-carboxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

5 (2-Isopropylphenyl)[2-nitro-4-(*E*-(((3-ethanesulfonylaminocarbonyl)piperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-(((3-(4-methylpiperazine) sulfonylaminocarbonyl)piperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

10 (2-Isopropylphenyl)[2-nitro-4-(*E*-(((3-*p*-toluenesulfonylaminocarbonyl)piperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-methyl-4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Hydroxyphenyl)-[2-chloro-4(*E*-[(morpholin-1-yl)carbonyl]ethenyl)phenyl]sulfide
(1-(Carboxymethyl)indol-5-yl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-

15 yl)carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(1-pyrrolidin-2-onyl)prop-1-ylamino) carbonyl)ethenyl) phenyl] sulfide;

20 (3-(2-Morpholinoethylamino)phenyl)[2-trifluoromethyl-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

00760" 56274560

(2-Pyrrolidin-1-ylphenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(3-Bromophenyl)[2-nitro-4-(*E*-((3-carboethoxypyrrolidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

5 (3-Bromophenyl)[2-nitro-4-(*E*-((4-carboethoxypyrrolidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-(Hydroxymethyl)-benzodioxan-6-yl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((3-(pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl) ethenyl)phenyl]sulfide;

(3-(Dimethylaminomethyl)indol-5-yl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((2-carboethoxypiperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

15 (2-Isopropylphenyl)[2-nitro-4-(*E*-((2-carboxypiperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((4-carboethoxypiperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((4-carboxypiperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

20 (2-Isopropylphenyl)[2-nitro-4-(*E*-(((4-*p*-toluenesulfonylaminocarbonyl)piperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

007660" 5627560

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-carboxy-4-hydroxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((3-carboethoxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

5 (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((2-carboethoxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-nitro-4-(*E*-((4-carboxypiperidin-1-yl) carbonyl)ethenyl)phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-(3-carboxypyrrolidin-1-yl)carbonyl)ethenyl] phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((4-carboethoxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((2-carbomethoxy-4-*tert*-butoxycarbonylpiperazin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

15 (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((2-carbomethoxy-4-methoxycarbonylpiperazin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((2-carbomethoxypiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Methyl-3-(carboethoxymethyl)indol-5-yl)[2-trifluoromethyl-4-(*E*-((morpholin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(1-(2-Methoxyethyl)indol-5-yl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-acetoxymethyl-4-hydroxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(dimethylaminocarbonyl)-4-hydroxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

5 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-cyanomorpholin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-carboethoxymorpholin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(tetrazol-5-yl)morpholin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((4-carboxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((2-carboxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

15 (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((4-carbomethoxypiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((3-aza-6,9-dioxaspiro[5.4]decan-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoro-4-(*E*-((4-(benzimidazol-1-yl)piperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((4-(methylaminocarbonyl)piperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

004495-03100
NOTED 5627560

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((3-carbomethoxy-4-methoxycarbonylpiperazin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-carboxymorpholin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

5 (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((2-carboxy-4-methoxycarbonylpiperazin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((morpholin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((4-(pyrrolidin-1-yl)piperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

10 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-aza-6,9-dioxaspiro[5.4]decan-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((2-(dimethylaminomethyl)piperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

15 (2-Isopropylphenyl)[2-nitro-4-(*E*-((piperidin-1-ylamino)carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((3-carboxy-4-methoxycarbonylpiperazin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(2-(Dimethylaminocarbonyl)-benzodioxan-6-yl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;;

20 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(2-(methoxymethyl)tetrazol-5-yl) piperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide

0954195-033100

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(1-(methoxymethyl)tetrazol-5-yl) piperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(1-Methylindol-5-yl)[2-chloro-4-(*E*-((3-(1-pyrrolidin-2-onyl)propylamino) carbonyl)ethenyl) phenyl] sulfide;

5 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(tetrazol-5-yl) piperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(1-Methylindol-5-yl)[2-chloro-4-(*E*-((3-carboethoxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide

10 (1-Methylindol-5-yl)[2-chloro-4-(*E*-((3-carboxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(1-Methylindol-5-yl)[2-chloro-4-(*E*-((4-carboethoxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(1-Methylindol-5-yl)[2-chloro-4-(*E*-((3-carboxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

15 (2-Isopropylphenyl)[2-nitro-4-(*E*-((2-(1-methylpyrrolidin-2-yl)ethylamino)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((4-(pyrrolidin-1-yl)piperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((4-sulfopiperidin-1-yl)carbonyl)ethenyl) phenyl]

20 sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-hydroxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

0054795-03100

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((3-
 ((ethanesulfonylamino)carbonyl)piperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((3-(*p*-
 toluenesulfonylamino)carbonyl)piperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

5 (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((4-
 ((ethanesulfonylamino)carbonyl)piperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((2(tetrazol-5-yl)morpholin-1-
 yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((2-butyl, 5-(tetrazol-5-yl)morpholin-1-
 10 yl)carbonyl)ethenyl) phenyl] sulfide;

(2-(and 3)-(Hydroxymethyl)-benzodioxan-6-yl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-
 yl)carbonyl)ethenyl) phenyl] sulfide;

(2-(and 3)-(Hydroxymethyl)-benzodioxan-6-yl)[2-nitro-4-(*E*-((3-(pyrrolidin-2-on-1-
 yl)prop-1-ylamino)carbonyl) ethenyl)phenyl]sulfide;

15 (2-(and 3)-(Hydroxymethyl)-benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((3-
 (pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl) ethenyl)phenyl]sulfide;

(3-Hydroxymethyl)-benzodioxan-6-yl)[2-nitro-4-(*E*-((3-(pyrrolidin-2-on-1-yl)prop-1-
 ylamino)carbonyl) ethenyl)phenyl]sulfide;

(Benzodioxan-6-yl)[2-chloro-4-(*E*-((3-carboxypiperidin-1-yl)carbonyl)ethenyl)

20 phenyl]

(2-(and 3)-(Aminomethyl)-benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((3-(pyrrolidin-
 2-on-1-yl)prop-1-ylamino)carbonyl) ethenyl)phenyl]sulfide;

0054495-033100

- (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(methylaminocarbonyl)morpholin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(hydroxymethyl)morpholin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 5 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(acetoxymethyl)morpholin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(aminomethyl)morpholin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(acetamidomethyl)morpholin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 10 (Benzodioxan-6-yl)[2-chloro-4-(*E*-((3-(pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl) ethenyl)phenyl]sulfide;
- (Benzodioxan-6-yl)[2-chloro-4-(*E*-((3-carboethoxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
- 15 (Benzodioxan-6-yl)[2-chloro-4-(*E*-((2-carboethoxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide
- (2-Methoxyphenyl)-[2,3-dichloro-4(*E*-[(morpholin-1-yl)carbonyl]ethenyl)phenyl] sulfide;
- (2-Methoxyphenyl)-[2,3-dimethyl-4(*E*-[(morpholin-1-yl)carbonyl]ethenyl)phenyl]
- 20 sulfide;
- (2-Isopropylphenyl)[2-nitro-4-(*E*-((indol-5-ylamino)carbonyl)ethenyl) phenyl] sulfide;

00750" 564795 033100

(Benzodioxan-6-yl)[2-chloro-4-(*E*-((3-(tetrazol-5-yl)piperidin-1-yl) carbonyl)ethenyl)phenyl] sulfide;

(Benzodioxan-6-yl)[2-chloro-4-(*E*-((2-carboxypiperidin-1-yl) carbonyl)ethenyl)phenyl] sulfide;

(2-Methoxyphenyl)-[2,3-dichloro-4(*E*-[(4-carboxypiperidin-1-yl)carbonyl]ethenyl)phenyl] sulfide;

(2-Methoxyphenyl)-[3-chloro-4(*E*-[(morpholin-1-yl)carbonyl]ethenyl)phenyl]sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((4-oxopiperidin-1-yl)carbonyl)ethenyl) phenyl]
sulfide;

20 (Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((3-*R*-carboethoxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((3-*R*-carboxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2,3-dichloro-4-(*E*-((3-(pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl) ethenyl)phenyl]sulfide;

- 5 (Benzodioxan-6-yl)[2,3-dichloro-4-(*E*-((4-acetylpiperazin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2,3-dichloro-4-(*E*-((3-carboethoxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

- 10 (Benzodioxan-6-yl)[2,3-dichloro-4-(*E*-((4-carboethoxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2,3-dichloro-4-(*E*-((3-carboxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2,3-dichloro-4-(*E*-((4-carboxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

- 15 (2-Isopropylphenyl)[2,3-dichloro-4-(*E*-((3-(1-pyrrolidin-2-onyl)propylamino) carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2,3-dichloro-4-(*E*-((4-acetylpiperazin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

- 20 (2-Isopropylphenyl)[2,3-dichloro-4-(*E*-((3-carboethoxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2,3-dichloro-4-(*E*-((4-carboethoxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2,3-dichloro-4-(*E*-((3-carboxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2,3-dichloro-4-(*E*-((4-carboxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

5 (1-Methylindol-5-yl)[2,3-dichloro-4-(*E*-((3-carboethoxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(1-Methylindol-5-yl)[2,3-dichloro-4-(*E*-((3-carboxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(1-Methylindol-5-yl)[2,3-dichloro-4-(*E*-((4-carboethoxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

10 (1-Methylindol-5-yl)[2,3-dichloro-4-(*E*-((4-carboxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(2-Ethoxyphenyl)-[2,3-dichloro-4(*E*-[(4-carboxypiperidin-1-yl)carbonyl]ethenyl) phenyl] sulfide;

15 (2-Ethoxyphenyl)-[2,3-dichloro-4(*E*-[(morpholin-1-yl)carbonyl]ethenyl)phenyl] sulfide;

(2-Ethoxyphenyl)-[2,3-dichloro-4(*E*-[(3-carboxypiperidin-1-yl)carbonyl]ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-carboethoxypyrrolidin-1-yl)carbonyl)ethenyl)

20 phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-carboxypyrrolidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

054195-034100

(2-Methoxyphenyl)[2,3-dichloro-4-(*E*-((4-ethylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-Isopropylphenyl)[2,3-dichloro-4-(*E*-((4-(2-(2-hydroxyethoxy)ethyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

5 (Benzodioxan-6yl)[2,3-bis(trifluoromethyl)-4-(*E*-((4-carboxypiperidin-1-yl)carbonyl)ethenyl)phenyl]sulfide;

(2-Methoxyphenyl) [2,3-dichloro-4-(*E*-((4-(carboxymethylamino)carbonyl-piperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-Methoxyphenyl) [2,3-bis(trifluoromethyl)-4-(*E*-((4-carboxymethylpiperazin-1-yl)carbonyl)ethenyl)phenyl]sulfide;

10 (2-Methoxyphenyl) [2,3-bis(trifluoromethyl)-4-(*E*-((4-N-(2-hydroxyethyl)piperazin-1-yl)carbonyl)ethenyl)phenyl]sulfide;

(1-Methylindol-5-yl) [2,3-dichloro-4-(*E*-((4-(carbo-2,3-dihydroxypropylamino)piperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

15 (2-Methoxyphenyl) [2,3-dichloro-4-(*E*-(4-(2,3-dihydroxypropionyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-Methoxyphenyl) [2,3-dichloro-4-(*E*-(4-(2,3-dihydroxy-3-carboxypropionyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(1-Methylindol-5-yl) [2,3-dichloro-4-(*E*-((4-(carboxymethylamino)carbonyl-piperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

20 (1-Methylindol-5-yl) [2,3-dichloro-4-(*E*-((4-sulfopiperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

09541795-033100

(1-Methylindol-5-yl) [2,3-dichloro-4-(*E*-(4-methylhomopiperazin-1-ylcarbonyl)ethenyl)phenyl] sulfide;

(1-Methylindol-5-yl) [2,3-dichloro-4-(*E*-(4-tetrahydrofuroylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

5 (2-Methoxyphenyl) [2,3-dichloro-4-(*E*-((4-amino-4-carboxypiperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-Methoxyphenyl)[2,3-dichloro-4-((4-furoylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

10 (1-Methylindol-5-yl) [2,3-dichloro-4-(*E*-(4-(carbo-3-sulfopropylamino)piperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-Methoxyphenyl)[2,3-dichloro-4-(*E*-(4-acetylamino-4-carboxypiperidin-1-ylcarbonyl)ethenyl)phenyl] sulfide;

(2-Methoxyphenyl) [2,3-bis(trifluoromethyl)-4-(*E*-((4-carboxypiperidin-1-yl)carbonyl)ethenyl)phenyl]sulfide;

15 (2-Methoxyphenyl) 5-[8-(*E*-((4-(aminocarbonyl)piperidin-1-yl)carbonyl)ethenyl)quinolinyl]sulfide;

(2-Methoxyphenyl) [2-trifluoromethyl-4-(*E*-((4-carboxypiperidin-1-yl)carbonyl)ethenyl)phenyl]sulfide;

20 (1-Methylindol-5-yl) [2,3-dichloro-4-(*E/Z*-((1*S*,4*S*)-2,5-diazabicyclo(2,2,1)heptan-2-ylcarbonyl)ethenyl)-2,3-dichlorophenyl] sulfide;

(1-Methylindol-5-yl) [2,3-dichloro-4-(*E*-(4-hydroxy-3-carboxypiperidin-1-ylcarbonyl)ethenyl)phenyl] sulfide;;

00T E E O " 5 5 / T H 5 6 0

(1-Methylindol-5-yl) [2,3-dichloro-4-(*E*-(S-oxothiomorpholin-1-ylcarbonyl)ethenyl)phenyl] sulfide;

(2-Methoxyphenyl) [2,3-dichloro-4-(*E*-((4-sulfophenylamino)carbonyl)ethenyl)phenyl] sulfide;

5 (2-Methoxyphenyl) [2,3-dichloro-4-(*E*-((4-carboxyphenylamino)carbonyl)ethenyl)phenyl] sulfide;

[3-(4-Morpholino)phenyl] [2,3-dichloro-4-(*E*-[(4-carboxypiperidin-1-yl)carbonyl]ethenyl)phenyl] sulfide;

10 [3-(4-Morpholino)phenyl] [2,3-dichloro-4-(*E*-((4-carboxypiperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-Methoxyphenyl)[2,3-bis(trifluoromethyl)-4-(*E*-((4-phenylcarboxypiperidin-1-yl)carbonyl)ethenyl)phenyl]sulfide;

(2-Methoxyphenyl) [2,3-dichloro-4-(*E*-(((4-hydroxylaminocarbonyl)piperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

15 (2-Methoxyphenyl) [2,3-dichloro-4-(*E*-((N-carboxymethyl-N-phenylamino)carbonyl)ethenyl)phenyl] sulfide;

0054795-03100
NOTED 5627560

(2-Methoxyphenyl) [3-chloro-6-hydroxy-4-(E-((3-carboxypiperidin-1-yl)carbonyl)ethenyl)phenyl]sulfide;

(2-Methoxyphenyl) [2,3-dichloro-4-(E-4-((1-(2-phenyl-1-carboxyethyl)amino)carbonyl)piperidin-1-yl)carbonyl)ethenyl]phenyl]sulfide;

5 (2-Methoxyphenyl) [2,3-dichloro-4-(E-4-((1-(2-hydroxy-1-carboxyethyl)amino)carbonyl)piperidin-1-yl)carbonyl)ethenyl]phenyl]sulfide;

(3-(1-(3-Carboxypiperidinyl)phenyl)[2,3-dichloro-4-(E-((1,2,5,6-tetrahydropyridin-1-yl)carbonyl)ethenyl)phenyl]sulfide;

(3-(4-Pyrrolidin-1-yl)piperidin-1-yl)phenyl] [2,3-dichloro-4-(E-(((3-(2-pyrrolidinon-1-yl)propylamino)carbonyl)ethenyl)phenyl]sulfide;

[3-(4-(Spiro-2,2-dioxolanyl)piperidin-1-yl)phenyl] [2,3-dichloro-4-(E-((4-morpholinyl)carbonyl)ethenyl)phenyl]sulfide;

[3-(3-Carboxylpiperidin-1-yl)phenyl] [2,3-dichloro-4-(E-[(4-carboxypiperidin-1-yl)carbonyl]ethenyl)phenyl] sulfide;

15 (2-(2-Carboxy)ethenyl)phenyl] [2,3-dichloro-4-(E-((4-morpholinyl)carbonyl)ethenyl)phenyl]sulfide;

[3-(4-Carboxylpiperidin-1-yl)phenyl] [2,3-dichloro-4-(E-[(1,2,3,6-tetrahydropyridine)-1-yl)carbonyl]ethenyl)phenyl] sulfide;

[3-(4-Carboxylpiperidinyl)phenyl] [2,3-dichloro-4-(E-[(4-morpholinyl)carbonyl]ethenyl)phenyl] sulfide;

[2-(4-Acetylpiperazin-1-yl)phenyl] [2,3-dichloro-4-(E-[(4-carboxypiperidin-1-yl)carbonyl]ethenyl)phenyl] sulfide;

00760" 5647560

3-(3-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(*E*-[(4-morpholinyl)carbonyl]ethenyl)phenyl] sulfide;

[3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(*E*-[(4-(dimethylaminosulfamoyl)piperazin-1-yl)carbonyl]ethenyl)phenyl] sulfide;

5 (2-Methoxyphenyl)[2,3-bis(trifluoromethyl)-4-(*E*-[(3-carboxypiperidin-1-yl)carbonyl]ethenyl)phenyl]sulfide;

(2-Methoxyphenyl) [2,3-bis(trifluoromethyl)-4-(*E*-[(2-carboxypyrrolidin-1-yl)carbonyl]ethenyl)phenyl]sulfide;

[3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(*E*-[(4-
10 ((trifluoromethylsulfonyl)piperazin-1-yl)carbonyl]ethenyl)phenyl] sulfide;

(2-Methoxyphenyl)[2,3-dichloro-4-(*E*-(piperidin-1-ylcarbonyl)ethenyl)phenyl]
sulfide;

(2-Hydroxyphenyl) [2,3-dichloro-4-(*E*-[(4-morpholino)carbonyl]ethenyl)phenyl]sulfide;

15 (2-Methoxyphenyl) [2,3-dichloro-4-(*E*-(((4-carboxyphenyl)methyl)amino)carbonyl)ethenyl)phenyl]sulfide;

(2-Methoxyphenyl) [2,3-dichloro-4-(*E*-(((4-pyrrolidin-1-yl)piperidin-1-yl)carbonyl)ethenyl)phenyl]sulfide;

(2-Hydroxyphenyl)[2,3-dichloro-4-(*E*-[(4-carboxypiperidin-1-
20 yl)carbonyl]ethenyl)phenyl]sulfide;

[3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(*E*-[(4-
((methylsulfonyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-Aminophenyl) [2,3-dichloro-4-(*E*-((4-morpholinyl)carbonyl)ethenyl)phenyl] sulfide;

(3-(4-carboxypiperidin-1-yl)phenyl)[2,3-dichloro-4-(*E*-((S-oxothiomorpholin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

5 [3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(*E*-((4-hydroxypiperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-Glycoxyphenyl) [2,3-dichloro-4-(*E*-((4-morpholino)carbonyl)ethenyl)phenyl]sulfide;

(2-(4-Butyroxyl)phenyl)[2,3-dichloro-4-(*E*-((4-morpholino)carbonyl)ethenyl)phenyl]sulfide;

10 [3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(*E*-((4-hydroxyethylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

[3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(*E*-((4-furoylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

15 [3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(*E*-((pyrrolidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

[3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(*E*-((diethylaminocarbonyl)ethenyl)phenyl] sulfide;

[3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(*E*-((4-ethylpiperazin-20 yl)carbonyl)ethenyl)phenyl] sulfide;

[3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(*E*-((4-(aminocarbonyl)piperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

[3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(*E*-((4-(2-ethoxyethyl)piperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

[3-((4-Carboxymethyl)piperazin-1-yl)phenyl] [(2,3-dichloro-4-(*E*-(4-morpholinyl)carbonyl)ethenyl)phenyl] sulfide;

5 [3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-bis(trifluoromethyl)-4-(*E*-((4-morpholino)carbonyl)ethenyl)phenyl] sulfide;

(3-Hydroxyphenyl) [2,3-dichloro-4-(*E*-((4-morpholino)carbonyl)ethenyl)phenyl] sulfide;

[3-(4-Butyroxyl)phenyl] [2,3-dichloro-4-(*E*-((4-morpholino)carbonyl)ethenyl)phenyl] sulfide;

(2-Hydroxyphenyl) [2,3-bis(trifluoromethyl)-4-(*E*-((4-morpholino)carbonyl)ethenyl)phenyl] sulfide;

(3-Hydroxyphenyl) [2,3-bis(trifluoromethyl)-4-(*E*-((4-morpholino)carbonyl)ethenyl)phenyl] sulfide;

15 [3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-ditrifluoromethyl-4-(*E*-((4-hydroxypiperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

[3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-ditrifluoromethyl-4-(*E*-((1,2,5,6-tetrahydropyridin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

001111 5621150

[2-((4-Carboxy)butyloxy)phenyl] [2,3-dichloro-4-(E-((4-morpholino)carbonyl)ethenyl)phenyl]sulfide;

(2-Glycoxyphenyl) [2,3-bis(trifluoromethyl)-4-(E-((4-morpholino)carbonyl)ethenyl)phenyl]sulfide;

5 (2-(4-Butyroxyl)phenyl) [2,3-bis(trifluoromethyl)-4-(E-((4-morpholino)carbonyl)ethenyl)phenyl]sulfide;

[3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-ditrifluoromethyl-4-(E-((bis-(2-ethoxyethyl)amino)carbonyl)ethenyl)phenyl] sulfide;

[3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-bis-(trifluoromethyl)-4-(E-((bis-(2-hydroxypropyl)amino)carbonyl)ethenyl)phenyl] sulfide;

10 [3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-bis-(trifluoromethyl)-4-(E-((piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(3-(4-Butyroxyl)phenyl) [2,3-bis(trifluoromethyl)-4-(E-((4-morpholino)carbonyl)ethenyl)phenyl]sulfide;

15 [2-(3-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(E-[(3-(2-pyrrolidinon-1-yl)propylaminocarbonyl)ethenyl)phenyl] sulfide;

[2-(3-Carboxypiperidin-1-yl)phenyl] [2,3-bis(trifluoromethyl)-4-(E-[(3-(2-pyrrolidinon-1-yl)propylaminocarbonyl)ethenyl)phenyl] sulfide;

[2-(3-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(E-((4-(2-hydroxyethyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

20

[2-(3-Carboxypiperidin-1-yl)phenyl] [2,3-bis(trifluoromethyl)-4-(E-((1,2,5,6-tetrahydropyridin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

007220" 56274560

[2-(3-Carboxypiperidin-1-yl)phenyl] [2,3-bis(trifluoromethyl)-4-(*E*-((4-(2-hydroxyethyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

[2-(3-Carboxypiperidin-1-yl)phenyl] [2,3-bis(trifluoromethyl)-4-(*E*-((4-(2-(hydroxyethoxy)ethyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide; and

5 (3-(3-Propioxy)phenyl) [2,3-dichloro-4-(*E*-((4-(morpholino)carbonyl)ethenyl)phenyl]sulfide.

13. A compound according to Claim 1 selected from the group consisting of:

10 (2-Formylphenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-Ethoxyphenyl)-[2-chloro-4(*E*-[(morpholin-1-yl)carbonyl]ethenyl)phenyl]sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-dimethylaminocarbonyl-4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

15 (2-Isopropylphenyl)[2-nitro-4-(*E*-((4-methoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Ethoxyphenyl)[2-trifluoromethyl-4-(*E*-((2-carboxy-4-(methoxycarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Ethyl-4-bromophenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)

20 phenyl] sulfide;

(3-Morpholinophenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

09541795-033100

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-carboethoxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(1-pyrrolidin-2-onyl)prop-1-ylamino)carbonyl)ethenyl) phenyl] sulfide;

5 (2-(Hydroxymethyl)-benzodioxan-6-yl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((3-carboxypyrrolidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((4-carboethoxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((2-carbomethoxy-4-methoxycarbonylpiperazin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((2-carbomethoxypiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

15 (Benzodioxan-6-yl)[2-chloro-4-(*E*-((4-(methylaminocarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Methoxyphenyl)-[2,3-dichloro-4(*E*-[(4-carboxypiperidin-1-yl)carbonyl]ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((3-*R*-carboethoxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2,3-dichloro-4-(*E*-((3-(pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl) ethenyl)phenyl]sulfide;

001100" 5627560

(Benzodioxan-6-yl)[2,3-dichloro-4-(*E*-((4-acetylpiperazin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2,3-dichloro-4-(*E*-((3-carboethoxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

5 (Benzodioxan-6-yl)[2,3-dichloro-4-(*E*-((4-carboethoxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2,3-dichloro-4-(*E*-((3-carboxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2,3-dichloro-4-(*E*-((4-carboxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2,3-dichloro-4-(*E*-((4-acetylpiperazin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2,3-dichloro-4-(*E*-((3-carboethoxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

15 (2-Isopropylphenyl)[2,3-dichloro-4-(*E*-((3-carboxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2,3-dichloro-4-(*E*-((4-carboxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(2-Methoxyphenyl) [2,3-dichloro-4-(*E*-((4-(carboxymethylamino)carbonyl-piperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-Methoxyphenyl) [2,3-bis(trifluoromethyl)-4-(*E*-((4-carboxymethylpiperazin-1-yl) carbonyl)ethenyl)phenyl]sulfide; and

001111 0910 5624560

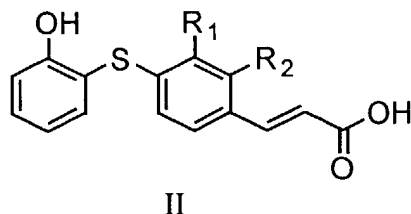
(2-Methoxyphenyl) [2,3-bis(trifluoromethyl)-4-(E-((4-N-(2-hydroxyethyl)piperazin-1-yl)carbonyl)ethenyl)phenyl]sulfide.

Insert new compounds here

- 5 14. A compound according to Claim 1 wherein **Ar** is selected from the group consisting of methoxyphenyl and isopropylphenyl.
15. A compound according to Claim 1 wherein **Ar** is benzodioxan or substituted benzodioxan.
- 10 16. A compound according to Claim 1 wherein R_3 is a "trans-cinnamide"; and **Ar** is selected from 1,3-benzimidazol-2-one, 1,4-benzodioxane, 1,3-benzodioxole, 1-benzopyr-2-en-4-one, indole, isatin, phenyl, 1,3-quinazolin-4-one, and quinoline.
- 15 17. A compound according to Claim 1 wherein R_{10} and R_{11} are independently selected from hydrogen, alkyl, cycloalkyl, alkoxy carbonylalkyl, hydroxyalkyl, and heterocyclalkyl.
- 20 18. A compound according to Claim 1 wherein $NR_{10}R_{11}$ is heterocyclyl or substituted heterocyclyl.

0954195-033100

19. A pharmaceutical composition comprising a compound of Claim 1 in a pharmaceutically-acceptable carrier.
20. A method of inhibiting inflammation comprising the administration of a compound of Claim 1 to a mammal in need of treatment.
21. A method of inhibiting inflammation comprising the administration of a composition of Claim 12 to a mammal in need of treatment.
22. A method of suppressing immune response comprising the administration of a compound of Claim 1 to a mammal in need of treatment.
23. A method of suppressing immune response comprising the administration of a composition of Claim 12 to a mammal in need of treatment.
24. A compound of formula II

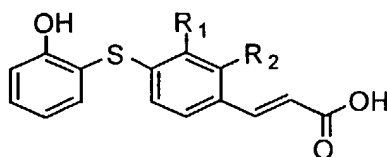


wherein R_1 and R_2 are independently selected from the group consisting of

- i. hydrogen,
- j. halogen,
- 5 k. alkyl,
- l. haloalkyl,
- m. alkoxy,
- n. cyano,
- o. nitro, and
- 10 p. carboxaldehyde.

0071220" 96771560

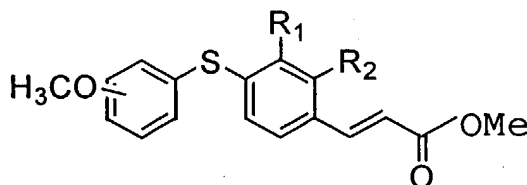
25. A process for preparing a compound of formula II



II

which comprises:

- 5 a) reacting a compound of formula II'



II'

with lithium hydroxide, and

10

- b) cleaving the resulting methyl ether.

26. The compound of Claim 1 with the proviso that when three of R₁, R₂, R₄, and R₅

are hydrogen, then the remaining R₁, R₂, R₄, or R₅ is not carboxyl, 5-tetrazolyl,

15 hydroxymethyl or carboxyl derivatized in the form of a pharmaceutically
acceptable ester.